PROTAC CDK12/13 Degrader-1 TFA

Cat. No.: HY-151110A

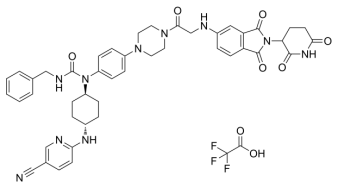
Molecular Formula: $C_{47}H_{47}F_3N_{10}O_8$

Molecular Weight: 936.93

Target: CDK; PROTACs

Pathway: Cell Cycle/DNA Damage; PROTAC

Storage: -20°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (26.68 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0673 mL	5.3366 mL	10.6732 mL
	5 mM	0.2135 mL	1.0673 mL	2.1346 mL
	10 mM	0.1067 mL	0.5337 mL	1.0673 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

PROTAC CDK12/13 Degrader-1 (7f) TFA is a highly selective cell cycle protein-dependent kinase CDK12/CDK13 dual degrader with the DC_{50} values of 2.2 nM and 2.1 nM, respectively. PROTAC CDK12/13 Degrader-1 TFA has anti-proliferative activity and can be used in breast cancer research[1].

IC_{50} & Target

CDK12	CDK13
2.2 nM (DC50)	2.1 nM (DC50)

In Vitro

PROTAC CDK12/13 Degrader-1 (7f) TFA (0.02-10 μM, 150 h) significantly inhibits the proliferation of MFM223 and MDA-MB-231 cells in a dose-dependent manner[1].

PROTAC CDK12/13 Degrader-1 (7f) TFA (500 nM, 4 h) can significantly degrade CDK12 and CDK13 of MFM223 and MDA-MB-231 cells in a dose-dependent manner[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Immunofluorescence[1]

Cell Line:	MDA-MB-231 cell lines

Concentration:	1.0 μM
Incubation Time:	15 hours
Result:	Showed 88% degradation for CDK12 and 74% for CDK13. Acted on CDK12 with the DC_{50} value of 2.2 nM, and acted on CDK13 with the DC_{50} value of 2.1 nM.

In Vivo

The pharmacokinetic parameters of PROTAC CDK12/13 Degrader-1 (7f) TFA in rats[1].

Parameters	oral (20 mg/kg)	iv (10 mg/kg)	ip (20 mg/kg)	iv (2.5 mg/kg)
$t_{1/2}$ (h)	-	5.28	10.85	5.8
T_{max} (h)	5.33	0.08	2.17	0.08
C_{max} (ng/mL)	7.73	19892.4	24.79	1498.5
C_{max} (ng/mL)	7.73	19892.4	24.79	1498.5
AUC_{0-t}(h*ng/mL)	21.83	7193.3	284.8	383.9
$AUC_{0-\infty}$(h*ng/mL)	-	7242.7	318.5	391.55
CL (mL/h/kg)	-	1406.5	-	6495.4
F (%)	0.15	-	10.63	-

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Jianzhang Yang, et al. Discovery of a Highly Potent and Selective Dual PROTAC Degrader of CDK12 and CDK13. J Med Chem. 2022 Aug 8.

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